EAST 09/787,426

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	4847	((514/269) or (514/275) or (514/255) or (514/249) or (514/227.8) or (514/235.8)).CCLS.	US-PGPUB; USPAT	OR	OFF	2004/11/22 15:56
L2	7001	((544/297) or (544/298) or (544/319) or (544/320) or (544/321) or (544/326) or (544/328) or (544/295) or (544/296) or (544/60) or (544/123) or (544/238)).CCLS.	US-PGPUB; USPAT	OR	OFF	2004/11/22 15:57
L3	10250	L1 or L2	US-PGPUB; USPAT	OR	OFF	2004/11/22 15:57
L4	109	L3 and (pyridyl with pyrimidin)	US-PGPUB; USPAT	OR	OFF	2004/11/22 15:58

09/ 787,426

Connecting via Winsock to STN

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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

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 NEWS  1           Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2           "Ask CAS" for self-help around the clock
 NEWS  3  SEP 01   INPADOC: New family current-awareness alert (SDI) available
 NEWS  4  SEP 01   New pricing for the Save Answers for SciFinder Wizard within
                   STN Express with Discover!
 NEWS  5  SEP 01   New display format, HITSTR, available in WPIDS/WPINDEX/WPIX
 NEWS  6  SEP 27   STANDARDS will no longer be available on STN
 NEWS  7  SEP 27   SWETSCAN will no longer be available on STN
 NEWS  8  OCT 28   KOREAPAT now available on STN
 NEWS  9  NOV 18   Current-awareness alerts, saved answer sets, and current
                   search transcripts to be affected by CERAB, COMPUAB, ELCOM,
                   and SOLIDSTATE reloads

 NEWS EXPRESS  OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS INTER    General Internet Information
 NEWS LOGIN    Welcome Banner and News Items
 NEWS PHONE    Direct Dial and Telecommunication Network Access to STN
 NEWS WWW      CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:37:14 ON 22 NOV 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:37:44 ON 22 NOV 2004
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

09/ 787,426

STRUCTURE FILE UPDATES: 21 NOV 2004 HIGHEST RN 785750-23-4
DICTIONARY FILE UPDATES: 21 NOV 2004 HIGHEST RN 785750-23-4

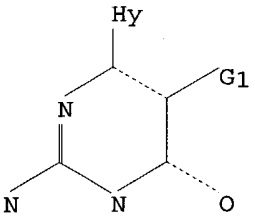
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

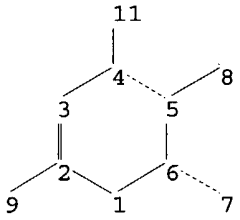
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\STNEXP4\QUERIES\09787426.str





chain nodes :
7 8 9 11
ring nodes :
1 2 3 4 5 6
chain bonds :
2-9 4-11 5-8 6-7
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 2-9 3-4 4-5 4-11 5-6 5-8 6-7
isolated ring systems :
containing 1 :

G1:C,X

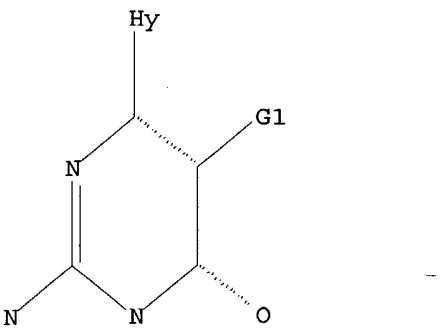
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 11:Atom
Generic attributes :
11:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : less than 2
Type of Ring System : Monocyclic

Element Count :
Node 11: Limited
C,C5
N,N1

09/ 787,426

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,X

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 15:38:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 49387 TO ITERATE

100.0% PROCESSED 49387 ITERATIONS 24 ANSWERS
SEARCH TIME: 00.00.04

L2 24 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 15:38:20 ON 22 NOV 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

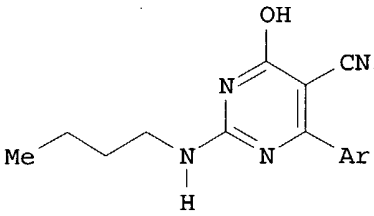
FILE COVERS 1907 - 22 Nov 2004 VOL 141 ISS 22
FILE LAST UPDATED: 21 Nov 2004 (20041121/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 13 L2

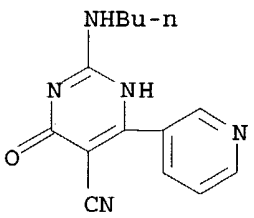
=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 13 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:201429 CAPLUS
DOCUMENT NUMBER: 138:4569
TITLE: Solid phase synthesis of structurally diverse tetra substituted pyrimidines for potential use in combinatorial chemistry
AUTHOR(S): Chauhan, P. M. S.; Kumar, Arun
CORPORATE SOURCE: Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226001, India
SOURCE: Combinatorial Chemistry and High Throughput Screening (2002), 5(1), 93-95
CODEN: CCHSFU; ISSN: 1386-2073
PUBLISHER: Bentham Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:4569
GI

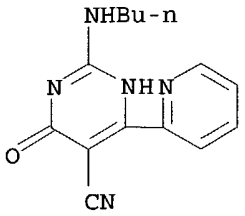


I

AB A new pyrimidine based scaffold has been identified for generation of combinatorial libraries using solid phase technique. The utility of the scaffolds was demonstrated by synthesizing small libraries of 12 substituted pyrimidines I (Ar = 4-ClC6H4, 3-BrC6H4, 2-HO-5-BrC6H3, 4-HOC6H4, etc.).
IT **476436-93-8P 476436-94-9P**
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)
(solid phase synthesis of a tetra-substituted pyrimidine library via cyclocondensation reaction of resin bound thiourea with Et cyanoacetate and arylaldehydes)
RN 476436-93-8 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-(butylamino)-1,4-dihydro-4-oxo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 476436-94-9 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-(butylamino)-1,4-dihydro-4-oxo-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)



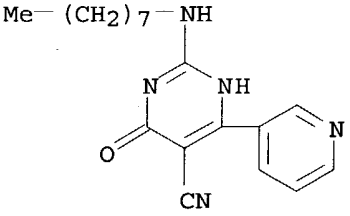
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:116972 CAPLUS
DOCUMENT NUMBER: 137:125132
TITLE: Syntheses of novel antimycobacterial combinatorial libraries of structurally diverse substituted pyrimidines by three-component solid-phase reactions
AUTHOR(S): Kumar, Arun; Sinha, Sudhir; Chauhan, Prem M. S.
CORPORATE SOURCE: Medicinal Chemistry Division, Central Drug Research Institute, U.P., Lucknow, 226001, India
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(4), 667-669
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:125132

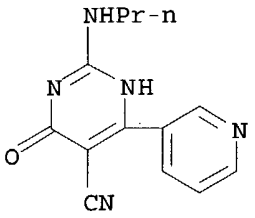
AB A new pyrimidine based scaffold has been developed by three-component solid-phase syntheses. The utility of scaffolds was demonstrated by synthesizing libraries of 80 substituted pyrimidines. Among 80 compds. screened, six compds. showed in vitro activity against Mycobacterium tuberculosis(MABA) at a concentration of 50 and 25 μg/mL.

IT **443970-98-7P 443970-99-8P 443971-00-4P**
RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(preparation of antimycobacterial combinatorial libraries of pyrimidines by three-component solid-phase reactions)

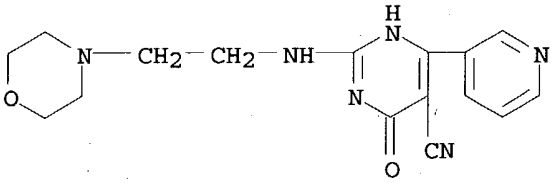
RN 443970-98-7 CAPLUS
CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-2-(octylamino)-4-oxo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 443970-99-8 CAPLUS
CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-4-oxo-2-(propylamino)-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 443971-00-4 CAPLUS
CN 5-Pyrimidinecarbonitrile, 1,4-dihydro-2-[[2-(4-morpholinyl)ethyl]amino]-4-oxo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:635876 CAPLUS
DOCUMENT NUMBER: 135:211049
TITLE: Preparation of pyrimidinamines and pyridinamines as adenosine receptor modulators for treatment of CNS disorders
INVENTOR(S): Borroni, Edilio Maurizio; Huber-Trottmann, Gerda; Kilpatrick, Gavin John; Norcross, Roger David
PATENT ASSIGNEE(S): F. Hoffmann La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

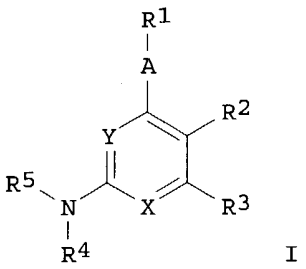
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062233	A2	20010830	WO 2001-EP1679	20010215
WO 2001062233	A3	20020103		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2398274	AA	20010830	CA 2001-2398274	20010215
EP 1261327	A2	20021204	EP 2001-927670	20010215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001008611	A	20030506	BR 2001-8611	20010215
JP 2003523380	T2	20030805	JP 2001-561300	20010215

09/ 787,426

NZ 520241	A	20040528	NZ 2001-520241		20010215
US 2001027196	A1	20011004	US 2001-788956		20010220
US 6586441	B2	20030701			
ZA 2002006077	A	20031030	ZA 2002-6077		20020730
NO 2002004006	A	20020822	NO 2002-4006		20020822
PRIORITY APPLN. INFO.:			EP 2000-103432	A	20000225
			WO 2001-EP1679	W	20010215

OTHER SOURCE(S): MARPAT 135:211049

GI



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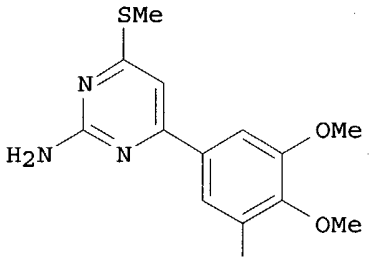


II

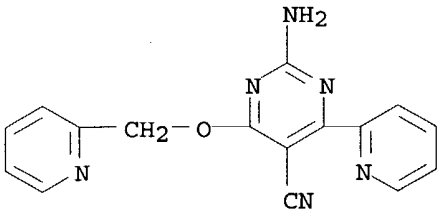
AB The title compds. (I) [wherein A = a bond, S, N(R), (CH2)2, CH:CH, C.tplbond.C, or O; X and Y = independently N:, :N, :CH, C(CN):, :C(CN), C(CSNH2):, or :C(CSNH2), wherein at least 1 of X or Y is N; R1 = H, (cyclo)alkyl, alkenyl, alkynyl, halo, CN, (alkyl)carboxylates, (alkyl)carbamates, alkoxy(alkyl), phenoxy(alkyl), phenylamino(alkyl), (un)substituted phenyl(alkyl) or amino(alkyl), morpholinyl(alkyl), piperidinyl(alkyl), pyridinyl(alkyl), piperazinyl(alkyl), etc.; R2 = H, halo, CN, NO2, acyl, carboxylate, (un)substituted alkyl, alkenyl, alkynyl, or Ph; R3 = alkyl or thienyl, (dihydro)furanyl, benzodioxolyl, isoxazolyl, pyridinyl, dihydropyranyl, pyrazinyl, aryl(alkyl)oxy, pyrazolyl, (un)substituted Ph, etc.; R4 and R5 = independently H, benzoyl, or (un)substituted phenacyl; or A and R2 taken together the with the C atoms to which they are attached may form a substituted thienyl group] were prepared as adenosine receptor modulators. For example, treating 3,4,5-trimethoxybenzoylacetonitrile with to NaH in DMSO, followed by addition of CS2 and MeI, gave the bis(methylthio) intermediate. Cycloaddn. with guanidine nitrate in the presence of TEA in DMF afforded the pyrimidinenitrile (II), which exhibited high selectivity toward the A1 and A3 adenosine receptors compared to the A2 receptor with pKi values of 5.88, 5.71 and 7.24, resp. I are useful for the treatment of Alzheimer's disease, Parkinson's disease, neuroprotection, schizophrenia, anxiety, pain, respiration deficits, depression, asthma, allergic responses, hypoxia, ischemia, seizure, substance abuse, and sedation, and they may be active as muscle relaxants, antipsychotics, antiepileptics, anticonvulsants, and cardioprotective agents (no data). The most preferred indications for I are those which include disorders of the central nervous system, such as certain depressive disorders, neuroprotection, and Parkinson's disease.

IT **357288-62-1P 357288-63-2P 357288-67-6P**
357288-71-2P 357288-72-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidinamines and pyridinamines as adenosine receptor modulators for treatment of CNS disorders and other diseases)

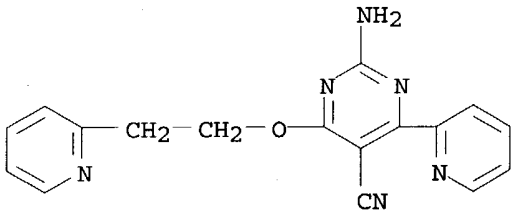
RN 357288-62-1 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-amino-4-(2-pyridinyl)-6-(2-pyridinylmethoxy)-

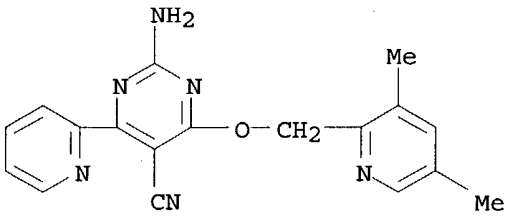
(9CI) (CA INDEX NAME)



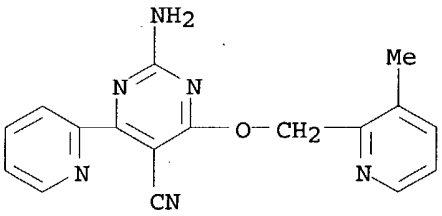
RN 357288-63-2 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-amino-4-(2-pyridinyl)-6-[2-(2-pyridinyl)ethoxy]- (9CI) (CA INDEX NAME)



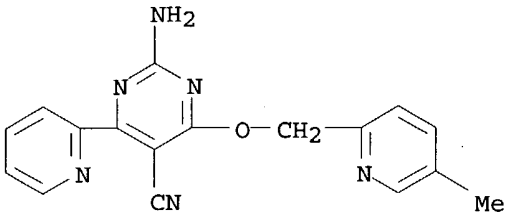
RN 357288-67-6 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-amino-4-[(3,5-dimethyl-2-pyridinyl)methoxy]-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 357288-71-2 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-amino-4-[(3-methyl-2-pyridinyl)methoxy]-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)



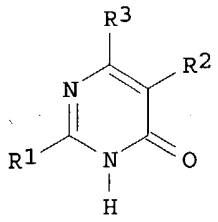
RN 357288-72-3 CAPLUS
CN 5-Pyrimidinecarbonitrile, 2-amino-4-[(5-methyl-2-pyridinyl)methoxy]-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:227649 CAPLUS
DOCUMENT NUMBER: 132:265206
TITLE: Preparation of pyrimidones for treating diseases caused by tau protein kinase 1 hyperactivity such as Alzheimer disease
INVENTOR(S): Watanabe, Kazutoshi; Ando, Ryoichi; Saito, Ken-ichi; Kawamoto, Rie; Shoda, Aya
PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000018758	A1	20000406	WO 1999-JP5224		19990924
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2345065	AA	20000406	CA 1999-2345065		19990924
AU 9957599	A1	20000417	AU 1999-57599		19990924
EP 1115721	A1	20010718	EP 1999-944815		19990924
EP 1115721	B1	20031210			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002525366	T2	20020813	JP 2000-572218		19990924
AT 256123	E	20031215	AT 1999-944815		19990924
PT 1115721	T	20040430	PT 1999-944815		19990924
ES 2214045	T3	20040901	ES 1999-944815		19990924
PRIORITY APPLN. INFO.:			JP 1998-271277	A	19980925
			JP 1998-305266	A	19981027
			WO 1999-JP5224	W	19990924

OTHER SOURCE(S): MARPAT 132:265206
GI



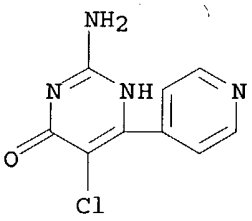
I

AB The title compds. [I; R1 = C1-18 alkyl, C3-18 alkenyl, C3-18 alkenyl, etc.; R2 = H, OH, C1-18 alkyl, etc.; R3 = (un)substituted pyridyl], useful for preventive and/or therapeutic treatment of a disease caused by tau protein kinase 1 hyperactivity such as Alzheimer disease, were prepared and formulated. Thus, reacting Et 3-(4-pyridyl)-3-oxopropionate with 3-amidinopyridine.HCl in the presence of K_2CO_3 in EtOH afforded I [R1 = 3-pyridyl; R2 = H; R3 = 4-pyridyl] which showed IC50 of 2.3 μM against P-GS1 phosphorylation by bovine cerebral TPK1.

IT **263244-09-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrimidones for treating diseases caused by tau protein kinase 1 hyperactivity such as Alzheimer disease)

RN 263244-09-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-chloro-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:287423 CAPLUS

DOCUMENT NUMBER: 131:18977

TITLE: Synthesis of pyrimidines and azolopyrimidines as biodynamic agents

AUTHOR(S): Upadhyay, D. N.; Ram, Vishnu J.

CORPORATE SOURCE: Medicinal Chemistry Division, Central Drug Research Institute, Lucknow, 226 001, India

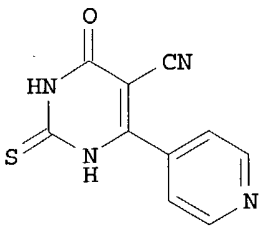
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1999), 38B(2), 173-177
CODEN: IJSBDB; ISSN: 0376-4699

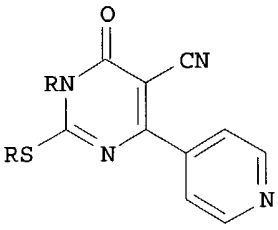
PUBLISHER: National Institute of Science Communication, CSIR

DOCUMENT TYPE: Journal

LANGUAGE: English

GI


I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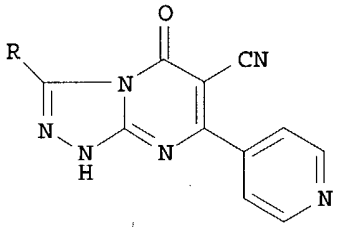
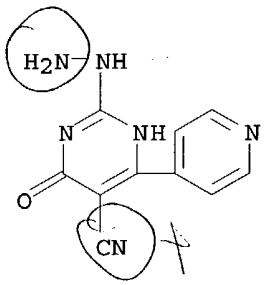

III

AB 5-Cyano-6-(4-pyridyl)-2-thiouracil (I) has been synthesized and used as a precursor for the synthesis of mono- and bicyclic pyrimidine derivs., e.g., II and III, to evaluate their antifungal and antileishmanial activities.

IT **226092-80-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(pyrimidines and azolopyrimidines as biodynamic agents)

RN 226092-80-4 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-hydrazino-1,4-dihydro-4-oxo-6-(4-pyridinyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:402295 CAPLUS
DOCUMENT NUMBER: 129:76492
TITLE: Method for treating multiple sclerosis
INVENTOR(S): Buxser, Stephen E.; Fitzpatrick, Francis A.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA; Buxser, Stephen E.; Fitzpatrick, Francis A.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9825596	A2	19980618	WO 1997-US21402		19971203
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2269681	AA	19980618	CA 1997-2269681		19971203
AU 9856871	A1	19980703	AU 1998-56871		19971203
EP 948331	A2	19991013	EP 1997-953042		19971203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2001505911	T2	20010508	JP 1998-526700		19971203
PRIORITY APPLN. INFO.:			US 1996-32648P	P	19961212
			WO 1997-US21402	W	19971203

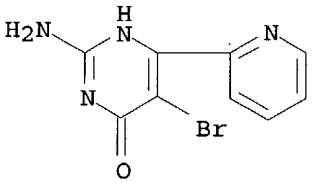
OTHER SOURCE(S): MARPAT 129:76492

AB A method for treating multiple sclerosis by systemic administration of a 6-aryl pyrimidine compound or a pharmaceutically acceptable salt thereof in association with a pharmaceutical carrier to a human having symptoms of multiple sclerosis.

IT **98305-53-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of exptl. autoimmune encephalomyelitis as model of multiple sclerosis with 6-arylpyrimidines)

RN 98305-53-4 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-bromo-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:59167 CAPLUS

DOCUMENT NUMBER: 116:59167

TITLE: Chemotherapeutic agents. XXI. Synthesis of π-deficient pyrimidines as leishmanicides

AUTHOR(S): Ram, Vishnu J.

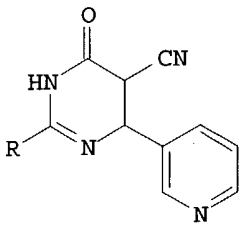
CORPORATE SOURCE: Med. Chem. Div., Cent. Drug Res. Inst., Lucknow, India

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1991), 324(11), 837-9
CODEN: ARPMAS; ISSN: 0365-6233

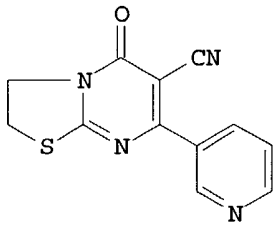
DOCUMENT TYPE: Journal

LANGUAGE: English

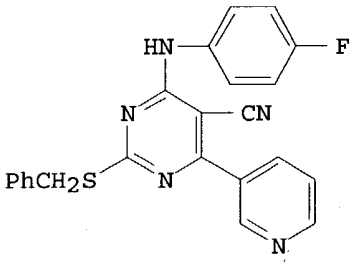
GI



II



III



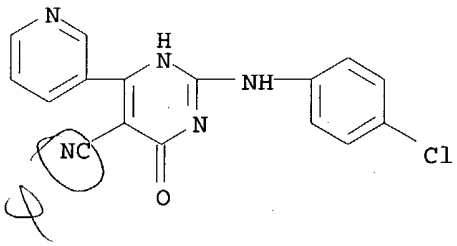
IV

AB 5-Cyano-6-(3-pyridyl)-2-thiouracil (I) was prepared from 3-pyridinecarboxaldehyde, thiourea, and Et cyanoacetate. Alkylation of I with mono- and dihaloalkanes under different conditions, gave alkylated derivs. e.g. II (R = MeS, PhCH2S) and III. Halogenation of II (R = PhCH2S) with POCl3 followed by nucleophilic substitution with amines gave the corresponding amines, e.g. IV. Fusion of II (R = MeS) with aromatic and heterocyclic amines at 160° gave the substitution products e.g. II (R = 4-methylpiperazino). Some of the compds. were screened for antileishmanial activity but only one of them IV demonstrated very significant activity.

IT **138429-65-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 138429-65-9 CAPLUS

CN 5-Pyrimidinecarbonitrile, 2-[(4-chlorophenyl)amino]-1,4-dihydro-4-oxo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1987:207184 CAPLUS

DOCUMENT NUMBER: 106:207184

TITLE: Antitumor activity of pyrimidinones, a class of small-molecule biological response modifiers

AUTHOR(S): Li, Li H.; Wallace, Tanya L.; Wierenga, Wendell; Skulnick, Harvey I.; DeKoning, Thomas F.

CORPORATE SOURCE: Cancer Viral Dis. Res., Upjohn Co., Kalamazoo, MI, 49001, USA

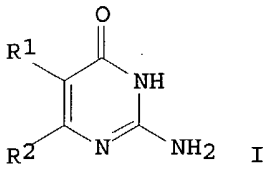
SOURCE: Journal of Biological Response Modifiers (1987), 6(1), 44-55
CODEN: JBRMDS; ISSN: 0732-6580

DOCUMENT TYPE: Journal

09/ 787,426

LANGUAGE: English

GI



I

AB The structure-activity relationship of pyrimidinones was evaluated. Of the 20 pyrimidinones tested I (R1= halo, R2 = Ph or substituted Ph, etc.), only those with a monohalogen substitution at the ortho- or meta-position of the Ph moiety of the 2-amino-5-halo-6-phenyl-4(3H)-pyrimidinone and ABPP (I; R1 = Br; R2 = Ph) [56741-95-8] showed significant synergism with cyclophosphamide (CY) [50-18-0] against P388 leukemia. Therefore, ABMFPP (I; R1 = Br, R2 = 2-FC6H4) [74602-59-8], AIMFPP (I; R1 = I, R2 = 2-FC6H4) [74602-60-1], and ABPP were selected for detailed therapeutic evaluation. The pyrimidinones alone had small activity against B16 melanoma with slightly >25% increase in lifespan (ILS); however, when used in combination with CY, ABPP or ABMFPP did not yield an effect greater than treatment with CY alone. Only AIMFPP appeared to produce a more or less additive effect with CY. Although none of these pyrimidinones alone had any significant activity against M5076 tumor, the combination with CY (100 mg/kg) produced a range of 102 to 123% ILS and 6-9 of 10 mice per group survived >45 days, whereas the treatment with CY alone yielded only a 48% ILS and none survived >45 days. The synergism of the combination therapy was significant. The combination used against L1210 leukemia also appeared to be superior to the treatment with CY alone and produced 25 to 50% long-term survivors (>30 days). The significance of these findings is discussed in terms of its clin. implications and the effects of these compds. as immunostimulants.

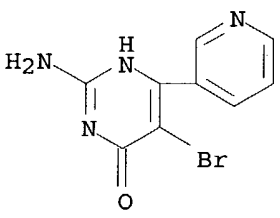
IT **76519-27-2 76519-28-3**

RL: BIOL (Biological study)

(neoplasm-inhibiting activity of cyclophosphamide and, structure in relation to)

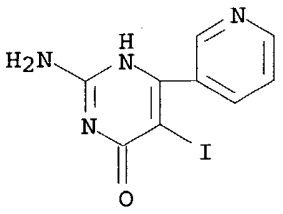
RN 76519-27-2 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-bromo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)

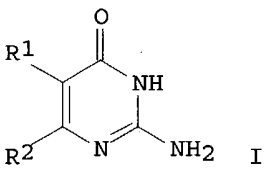


RN 76519-28-3 CAPLUS

CN 4(1H)-Pyrimidinone, 2-amino-5-iodo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:60766 CAPLUS
DOCUMENT NUMBER: 106:60766
TITLE: Pyrimidinones, a class of effective antitumor immunomodulators when used in combination with chemotherapeutic agents
AUTHOR(S): Li, L. H.; Wallace, T. L.; Wierenga, W.; DeKoning, T. F.
CORPORATE SOURCE: Upjohn Co., Kalamazoo, MI, USA
SOURCE: Recent Adv. Chemother., Proc. Int. Congr. Chemother., 14th (1985), Volume Anticancer Sect. 1, 403-4. Editor(s): Ishigami, Joji. Univ. Tokyo Press: Tokyo, Japan.
CODEN: 55GNAX
DOCUMENT TYPE: Conference
LANGUAGE: English
GI

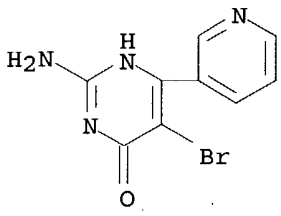


I

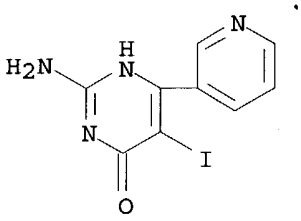
AB Of 10 pyrimidinones tested, only mono-halogen substitution at the ortho- or meta-position of Ph moiety of the 2-amino-5-halo-6-phenyl-4(3H)-pyrimidinones I (R1 = Br or I; R2 = Ph, C6H4Cl-3, C6H4F-3, C6H3Cl2-3,4, C6H3F2-2,3, C6H4NO2-3, C6H4OMe-3, 3-pyridyl) showed statistically significant synergism with cyclophosphamide (CY) [50-18-0]. I (R1 = Br; R2 = Ph), I (R1 = Br, R2 = C6H4F-3), and I (R1 = I, R2 = C6H4F-3) alone showed small but significant activity against B16 melanoma; however, they were ineffective against P388 leukemia, L1210 or M5076 tumors. Combination therapy proved to be additive or synergistic with CY against all tumors. The administration of I prior to CY was no better than the treatment with CY alone. A single injection of I 24 h following the CY administration was sufficient to produce a significant synergistic effect.

IT **76519-27-2 76519-28-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antitumor activity of, alone and in combination with cyclophosphamide)

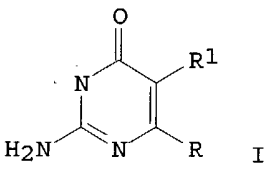
RN 76519-27-2 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-bromo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 76519-28-3 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-iodo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1985:596051 CAPLUS
DOCUMENT NUMBER: 103:196051
TITLE: Pyrimidinones. 1. 2-Amino-5-halo-6-aryl-4(3H)-pyrimidinones. Interferon-inducing antiviral agents
AUTHOR(S): Skulnick, Harvey I.; Weed, Sheldon D.; Eidson, Emerson E.; Renis, Harold E.; Stringfellow, Dale A.; Wierenga, Wendell
CORPORATE SOURCE: Cancer Virus Res., Upjohn Co., Kalamazoo, MI, 49001, USA
SOURCE: Journal of Medicinal Chemistry (1985), 28(12), 1864-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:196051
GI



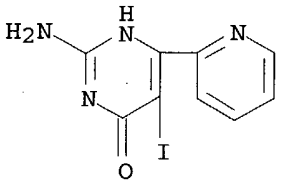
I

AB Title compds. I [R = Ph, halo-, alkoxy-, hydroxy-, nitro-, (trifluoromethyl)-, alkyl-, amino-, cyano-, carboxy-, or benzyloxyphenyl, naphthyl, furyl, pyridyl, pyrazinyl, quinolyl; R1 = Cl, Br, iodo] (about 110 compds.), which were prepared, exhibited virucidal activity. I (R = Ph, R1 = H) was halogenated by N-chlorosuccinimide in HOAc to give I (R = Ph, R1 = Cl).

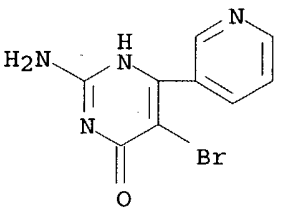
IT **76519-26-1P 76519-27-2P 76519-28-3P**
98305-53-4P 98305-54-5P 98305-55-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)
(preparation and virucidal activity of)

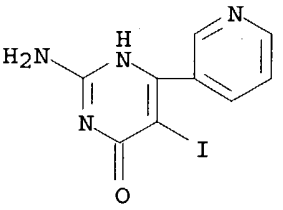
RN 76519-26-1 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-iodo-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)



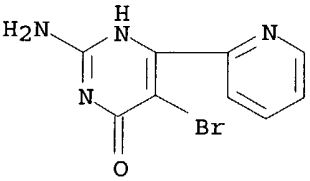
RN 76519-27-2 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-bromo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



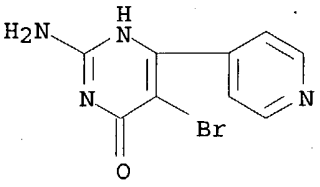
RN 76519-28-3 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-iodo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



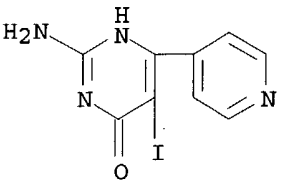
RN 98305-53-4 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-bromo-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)



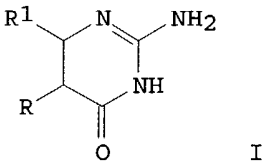
RN 98305-54-5 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-bromo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



RN 98305-55-6 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-iodo-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:538234 CAPLUS
DOCUMENT NUMBER: 97:138234
TITLE: Interferon inducers as antiviral and antineoplastic agents
AUTHOR(S): Stringfellow, Dale A.
CORPORATE SOURCE: Upjohn Co., Kalamazoo, MI, 49001, USA
SOURCE: Curr. Chemother. Immunother., Proc. Int. Congr. Chemother., 12th (1982), Meeting Date 1981, Volume 2, 1118-19. Editor(s): Periti, Piero; Gialdroni Grassi, Giuliana. Am. Soc. Microbiol.: Washington, D. C. CODEN: 48HGAR
DOCUMENT TYPE: Conference
LANGUAGE: English
GI

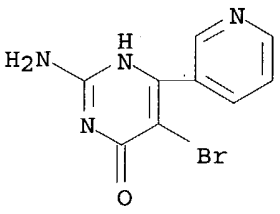


I

AB The correlation between interferon-inducing, antiviral (Semleki Forest and herpes simplex virus), and antitumor (B16 malignant melanoma) activities of 8 5-halo-6-arylpyrimidinones I (R = Br, I, or Cl; R1 = Ph, C6H4F-3, C6H4F-2, or pyridin-3-yl) was studied in mice. A good correlation existed between the interferon-inducing ability of the compds. with their inhibition of Semleki Forest virus but not herpes simplex virus. A direct correlation was observed between antiherpes activity and antitumor activity; no such direct correlation was found between interferon-inducing activity and antitumor activity. Thus, antiherpes activity of drugs may be a good predictor of antitumor activity against B19 melanoma in mice.

IT **76519-27-2**
RL: BIOL (Biological study)

(interferon-inducing and neoplasm-inhibiting and virucidal activity of)
RN 76519-27-2 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-bromo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



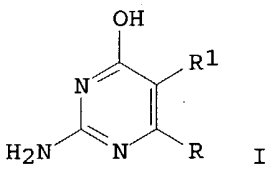
L3 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:84159 CAPLUS
DOCUMENT NUMBER: 94:84159
TITLE: 6-Arylpyrimidine derivatives
INVENTOR(S): Wierenga, Wendell; Skulnick, Harvey Irving; Stringfellow, Dale Alan; Fast, Patricia Evelyn
PATENT ASSIGNEE(S): Upjohn Co., USA
SOURCE: Ger. Offen., 82 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3008693	A1	19801002	DE 1980-3008693	19800306
DE 3008693	C2	19910314		
CH 646958	A	19841228	CH 1980-2043	19800314
NL 8001568	A	19800923	NL 1980-1568	19800317
GB 2048250	A	19801210	GB 1980-8979	19800317
GB 2048250	B2	19830427		
FR 2451918	A1	19801017	FR 1980-6015	19800318
FR 2451918	B1	19840106		
BE 882315	A1	19800919	BE 1980-199861	19800319
JP 55127378	A2	19801002	JP 1980-35729	19800319
JP 05002670	B4	19930113		
US 4507302	A	19850326	US 1981-303694	19810921
US 4543248	A	19850924	US 1982-366758	19820408
US 4619933	A	19861028	US 1983-526221	19830825
US 4665077	A	19870512	US 1984-630153	19840712
US 5002951	A	19910326	US 1987-46597	19870504
JP 05017451	A2	19930126	JP 1991-201754	19910812
JP 06027070	B4	19940413		
US 5434157	A	19950718	US 1993-7391	19930121
US 5554617	A	19960910	US 1995-419963	19950407
PRIORITY APPLN. INFO.:			US 1979-22205	19790319
			US 1979-79850	19790928
			US 1979-22025	19790319
			US 1980-117314	19800131
			US 1980-136436	19800420
			US 1980-174947	19800804
			US 1981-225159	19810115
			US 1981-255159	19810115
			US 1981-281820	19810709
			US 1981-319358	19811109

US 1981-330360	19811214
US 1982-366758	19820408
US 1983-64791	19830207
US 1983-553738	19831121
US 1984-630153	19840712
US 1985-731326	19850503
US 1986-820871	19860115
US 1986-930027	19861110
US 1987-102311	19870925
US 1988-220877	19880718
US 1989-341238	19890418
US 1989-440452	19891121
US 1990-544814	19900627
US 1991-640532	19910114
US 1991-742580	19910807
US 1992-842726	19920226
US 1992-963236	19921019
US 1993-77813	19930616
US 1994-180006	19940111
US 1994-306212	19940914

OTHER SOURCE(S): CASREACT 94:84159

GI



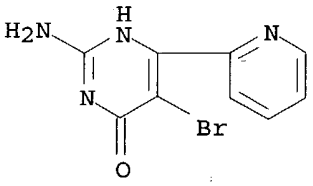
I

AB Arylpyrimidinols I (R = optionally substituted Ph, 1-naphthyl, 2-furyl, 3-pyridyl, 2-pyridyl, 2-pyrazinyl; R1 = halogen, alkyl, haloalkyl) were prepared Thus I (R = Ph, R1 = Br) was obtained by brominating I (R = Ph, R1 = H). I (R = Ph, R1 = Br) stimulated interferon production in cats at 50 mg/kg orally and protected calves against rhinotracheitis at 1 g/day for 6 days intranasally.

IT **76519-25-0P 76519-26-1P 76519-27-2P 76519-28-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 76519-25-0 CAPLUS

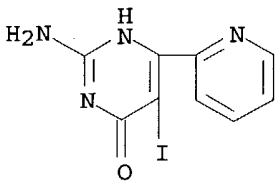
CN 4(1H)-Pyrimidinone, 2-amino-5-bromo-6-(2-pyridinyl)-, monohydrobromide (9CI) (CA INDEX NAME)



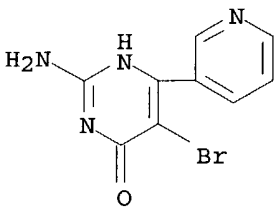
● HBr

RN 76519-26-1 CAPLUS

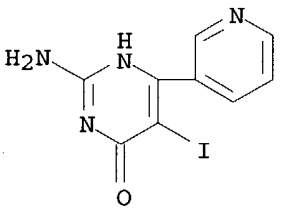
CN 4(1H)-Pyrimidinone, 2-amino-5-iodo-6-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 76519-27-2 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-bromo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 76519-28-3 CAPLUS
CN 4(1H)-Pyrimidinone, 2-amino-5-iodo-6-(3-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:171028 CAPLUS
DOCUMENT NUMBER: 82:171028
TITLE: 2,4,5-Trisubstituted-6-pyridylpyrimidine derivatives
INVENTOR(S): Tani, Hideo; Nakamura, Koji; Yokoo, Nobuo; Kyoya, Yoshinori; Akashi, Keisuke
PATENT ASSIGNEE(S): Mori, Hiroshi
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

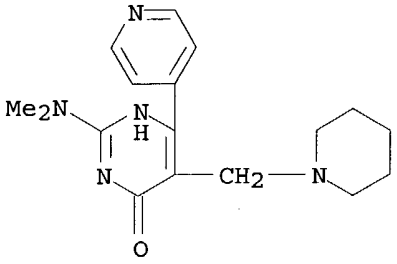
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 49036719	B4	19741002	JP 1970-128201	19701230

PRIORITY APPLN. INFO.: JP 1970-128201 19701230
GI For diagram(s), see printed CA Issue.
AB Pyridylpyrimidinols [I, R = 1-piperidinylmethyl (II), morpholinomethyl], useful as antiinflammatory agents (no data), were prepared by reacting I (R = H) with RH and formalin. E.g., 650 mg I (R = H) was refluxed with 0.036

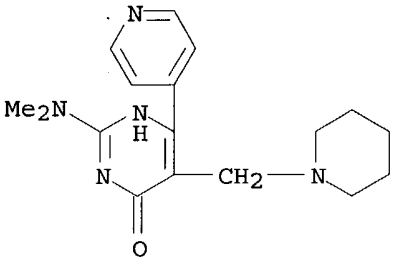
ml HOAc, 306 mg piperidine, 0.375 ml formalin and 6 ml EtOH for 45 min, the mixture allowed to stand for 2.5 hr, 0.1 ml formalin added, and the mixture again refluxed for 1.5 hr to give 44 mg II. II·HCl was also prepared

IT **55362-49-7P 55362-50-0P 55362-51-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 55362-49-7 CAPLUS
CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-5-(1-piperidinylmethyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)

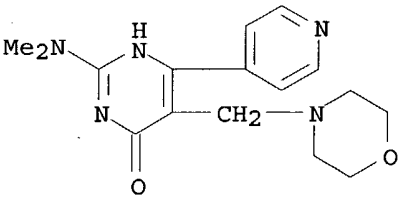


RN 55362-50-0 CAPLUS
CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-5-(1-piperidinylmethyl)-6-(4-pyridinyl)-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RN 55362-51-1 CAPLUS
CN 4(1H)-Pyrimidinone, 2-(dimethylamino)-5-(4-morpholinylmethyl)-6-(4-pyridinyl)- (9CI) (CA INDEX NAME)



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L3 13 S L2

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	62.76	218.39

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.10	-9.10

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